=> d ibib ab hitstr 1-2

L4 ANSWER 1 OF 2 USPATFULL

ACCESSION NUMBER:	2000:128309 USPATFULL
TITLE:	Vitamin D derivative with substituent at the 2.beta.-position
INVENTOR(S):	Miyamoto, Katsuhito, Tokyo, Japan Kubodera, Noboru, Shizuoka-ken, Japan
PATENT ASSIGNEE(S):	Chugai Seiyaku Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6124276		20000926
APPLICATION INFO.:	US 1998-116999		19980717 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-706969, filed on 3 Sep 1996, now patented, Pat. No. US 5877168 which is a continuation of Ser. No. US 1995-386544, filed on 10 Feb 1995, now abandoned		

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Dees, Jose' G.
ASSISTANT EXAMINER:	Badio, Barbara
LEGAL REPRESENTATIVE:	Browdy and Neimark
NUMBER OF CLAIMS:	11
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT:	1165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 1.alpha.-hydroxy-vitamin D derivatives represented by formula ##STR1## wherein R.sub.1 represents a hydrogen atom or a hydroxyl group; and R.sub.2 represents a straight-chain or branched C.sub.2 -C.sub.7 alkyl, C.sub.2 -C.sub.7 alkenyl, or C.sub.2 -C.sub.7 alkynyl group. The compounds exhibit calcium metabolism regulating activity and differentiation stimulating activity on tumor cells, and are useful as treating agents for diseases caused by abnormal calcium metabolism, such as osteoporosis and osteomalacia, or as antitumor agents.

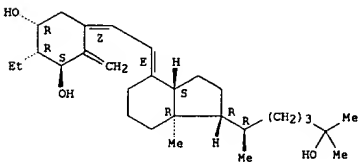
IT **158388-15-9P**

(prepn. of 2.beta.-substituted vitamin D derivs. for the treatment of osteoporosis)

RN 158388-15-9 USPATFULL

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 1 OF 2 USPATFULL (Continued)

L4 ANSWER 2 OF 2 USPATFULL

ACCESSION NUMBER:	1999:27627 USPATFULL
TITLE:	Vitamin D derivative with substituent at the 2.beta.-position
INVENTOR(S):	Miyamoto, Katsuhito, Tokyo, Japan Kubodera, Noboru, Shizuoka-ken, Japan
PATENT ASSIGNEE(S):	Chugai Seiyaku Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5877168		19990302
APPLICATION INFO.:	US 1996-706969		19960903 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-386544, filed on 10 Feb 1995, now abandoned		

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Dees, Jose G.
ASSISTANT EXAMINER:	Badio, Barbara
LEGAL REPRESENTATIVE:	Browdy And Neimark
NUMBER OF CLAIMS:	13
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT:	1234

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 1.alpha.-hydroxy-vitamin D derivative represented by formula (I): ##STR1## wherein R.sub.1 represents a hydrogen atom or a hydroxyl group; and R.sub.2 represents a straight-chain or branched lower alkyl, lower alkenyl or lower alkynyl group, which is substituted with a hydroxyl group, a halogen atom, a cyano group, a lower alkoxy group, an amino group or an acylamino group,

is disclosed. The compound exhibits calcium metabolism regulating activity and differentiation stimulating activity on tumor cells, etc. and is useful as a treating agent for diseases caused by abnormal calcium metabolism, such as osteoporosis and osteomalacia, or as an antitumor agent.

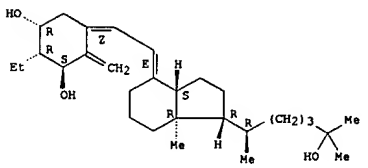
IT **158388-15-9P**

(prepn. of 2.beta.-substituted vitamin D derivs. for the treatment of osteoporosis)

RN 158388-15-9 USPATFULL

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 2 OF 2 USPATFULL (Continued)

=> d ibib ab hitstr 1-8

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS

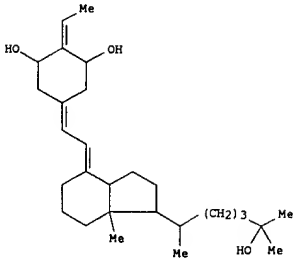
ACCESSION NUMBER: 2002:485206 CAPLUS
DOCUMENT NUMBER: 137:217136
TITLE: 2-Ethyl and 2-Ethylidene Analogues of 1.alpha.,25-Dihydroxy-19-norvitamin D3: Synthesis, Conformational Analysis, Biological Activities, and Docking to the Modeled rVDR Ligand Binding Domain
AUTHOR(S): Sicinski, Rafal R.; Rotkiewicz, Piotr; Kolinski, Andrzej; Sicinska, Wanda; Prahl, Jean M.; Smith, Connie M.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, University of Wisconsin, Madison, WI, 53706, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3366-3380
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel 19-nor analogs of 1.alpha.,25-dihydroxyvitamin D3 were prepd. and substituted at C-2 with an ethylidene group. The synthetic pathway was via Wittig-Horner coupling of the corresponding A-ring phosphine oxides with the protected 25-hydroxy Grundmann's ketones. Selective catalytic hydrogenation of 2-ethylidene analogs provided the 2.alpha.- and 2.beta.-Et compds. The 2-ethylidene-19-nor compds. with a Me group from the ethylidene moiety in a trans relationship to the C(6)-C(7) bond (E-isomers) were more potent than the corresponding Z-isomers and the natural hormone in binding to the vitamin D receptor. Both geometrical isomers (E and Z) of (20S)-2-ethylidene-19-norvitamin D3 and both 2.alpha.-ethyl-19-norvitamins (in the 20R- and 20S-series) have much higher HL-60 differentiation activity than does 1.alpha.,25-(OH)2D3. Both E-isomers (20R and 20S) of 2-ethylidene vitamins are characterized by very high calcemic activity in rats. The three-dimensional structure model of the rat vitamin D receptor and the computational docking of four synthesized (20R)-19-norvitamin D3 analogs into its binding pocket are also reported.

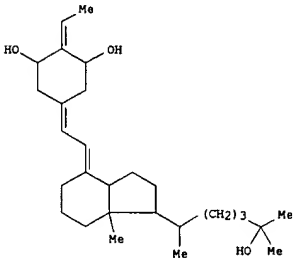
IT **377086-22-1P 377086-23-2P 377086-32-3P 377087-90-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of Et and ethylidene dihydroxy-19-norvitamin D3 analogs via Wittig-Horner, their conformation, vitamin D receptor activity, calcium transport and mobilization activities, and HL-60 differentiation)

RN 377086-22-1 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2E,3.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)

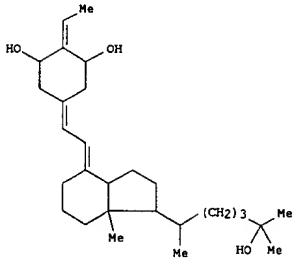


RN 377086-23-2 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2Z,3.beta.)- (9CI) (CA INDEX NAME)

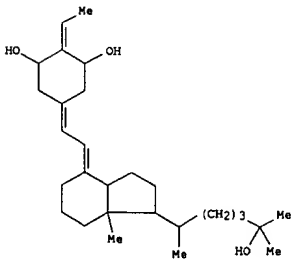


RN 377086-32-3 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2Z,3.beta.,20S)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)



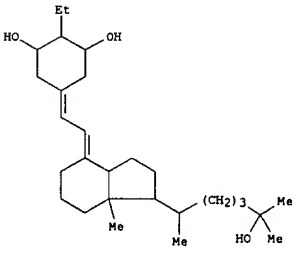
RN 377087-90-6 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2E,3.beta.,20S)- (9CI) (CA INDEX NAME)



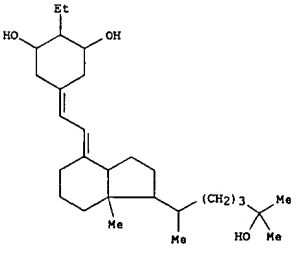
IT **377086-24-3P 377086-25-4P 377086-33-4P 377087-91-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of Et and ethylidene dihydroxy-19-norvitamin D3 analogs via Wittig-Horner, their conformation, vitamin D receptor activity, calcium transport and mobilization activities, and HL-60 differentiation)

RN 377086-24-3 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)

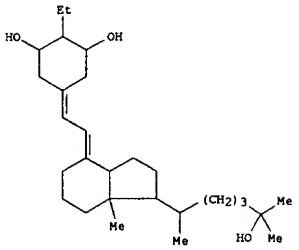


RN 377086-25-4 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.)- (9CI) (CA INDEX NAME)

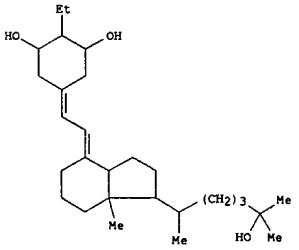


RN 377086-33-4 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,20S)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 377087-91-7 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.,20S)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:886060 CAPLUS
DOCUMENT NUMBER: 136:6208
TITLE: Preparation and formulation of 2-ethyl and 2-ethylidene-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092221	A1	20011206	WO 2001-US17662	20010531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

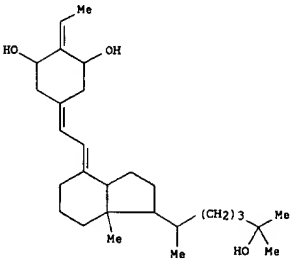
PRIORITY APPLN. INFO.: US 2000-208199P P 20000531

AB Biol. active 19-nor vitamin D analogs substituted at C-2 in the A-ring with an ethylidene or an Et group are prepd. These compds. have preferential activity on mobilizing calcium from bone and either high or normal intestinal calcium transport activity which allows their in vivo administration for the treatment of metabolic bone diseases where bone loss is a major concern. These compds. are also characterized by high cell differentiation activity. Thus, I was prepd. and showed high calcemic activity when tested in vivo in rats.

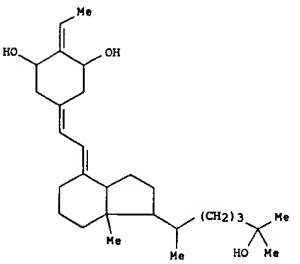
IT **377086-22-1P 377086-23-2P 377086-32-3P 377087-90-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of biol. active 2-Et and 2-ethylidene-19-norvitamin D compds.)

RN 377086-22-1 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2E,3.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)

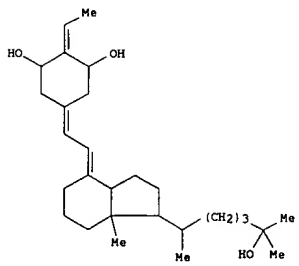


RN 377086-23-2 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2Z,3.beta.)- (9CI) (CA INDEX NAME)

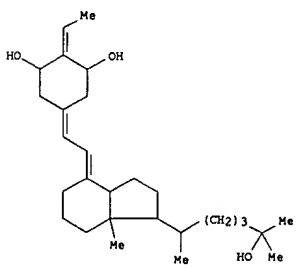


RN 377086-32-3 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2Z,3.beta.,20S)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)



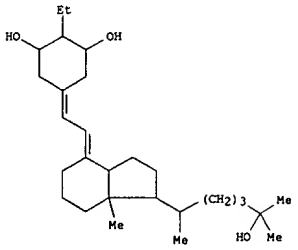
RN 377087-90-6 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethylidene-, (1.alpha.,2E,3.beta.,20S)- (9CI) (CA INDEX NAME)



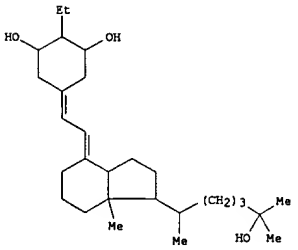
IT **377086-24-3P 377086-25-4P 377086-33-4P 377087-91-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biol. active 2-Et and 2-ethylidene-19-norvitamin D compds.)

RN 377086-24-3 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)

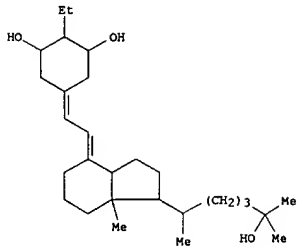


RN 377086-25-4 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.)- (9CI) (CA INDEX NAME)

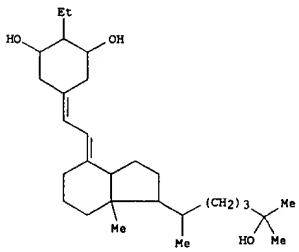


RN 377086-33-4 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,20S)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)



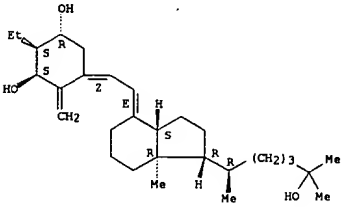
RN 377087-91-7 CAPLUS
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.,20S)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:861189 CAPLUS
DOCUMENT NUMBER: 136:134951
TITLE: Efficient and Versatile Synthesis of Novel 2.alpha.-Substituted 1.alpha.,25-Dihydroxyvitamin D3 Analogues and Their Docking to Vitamin D Receptors
AUTHOR(S): Suhara, Yoshitomo; Nihei, Ken-ichi; Kurihara, Masaaki; Kittaka, Atsushi; Yamaguchi, Kentaro; Fujishima, Toshie; Konno, Katsuhiro; Miyata, Naoki; Takayama, Hiroaki
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Teikyo University, Sagamiko, Kanagawa, 199-0195, Japan
SOURCE: Journal of Organic Chemistry (2001), 66(26), 8760-8771
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:134951
AB Novel 2.alpha.-substituted 1.alpha.,25-dihydroxyvitamin D3 analogs I [R = OH, CH2OH, (CH2)2OH, (CH2)3OH, Me, CH2Me, (CH2)2Me] with 2.alpha.-alkyl and 2.alpha.-hydroxyalkyl groups were systematically synthesized from a D-xylose deriv. Their conformation on binding to the ligand binding domain (LBD) of the vitamin D receptor was analyzed. It has been found that I [R = (CH2)3OH] best fits the cavity of the LBD, and the binding activity is three times higher than that for the natural hormone.
IT **288380-69-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 2.alpha.-substituted-1.alpha.,25-dihydroxyvitamin D3 analogs and their docking to vitamin D receptors)
RN 288380-69-8 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

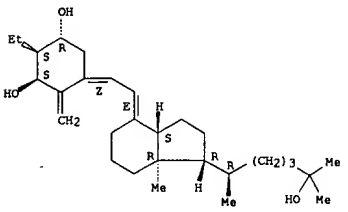
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:167963 CAPLUS
DOCUMENT NUMBER: 134:208010
TITLE: Preparation of vitamin D derivatives having substituents at the 2.alpha.-position
INVENTOR(S): Takayama, Hiroaki; Fujishima, Toshie; Suhara, Yoshitomo; Nihei, Ken-ichi; Konno, Katsuhiro
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016099	A1	20010308	WO 2000-JP5743	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1219599	A1	20020703	EP 2000-955023	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-241650 A 19990827
WO 2000-JP5743 W 20000825
OTHER SOURCE(S): MARPAT 134:208010
AB Novel vitamin D3 derivs. having substituents at the 2.alpha.-position, which are represented by general formula (I; wherein R1 is a satd. aliph. C1-15 hydrocarbon group which may be substituted with one to three optionally protected hydroxyl groups; and R2 is a satd. aliph. C1-10 hydrocarbon group optionally substituted with one or more members which may be the same or different from each other and are selected from among hydroxyl, halogeno, cyano, lower alkoxy, amino, and acylamino, with the proviso that when R2 has only one carbon atom, it must have a substituent) are prepd. Theses compds. are useful as remedies for diseases accompanied by unusual calcium metab., antitumor agents, and immunomodulators. Thus, (3S,4R,5R)-4-[3-(tert-butyldimethylsilyloxy)propyl]-3,5-bis-(tert-butyldimethylsilyloxy)oct-1-en-7-yne and vinyl bromide deriv. (II; R = Br) were dissolved in Et3N/toluene, followed by adding tris(dibenzylideneacetone)dipalladium(0)-chloroform complex and Ph3P, and the resulting soln. was stirred at room temp. for 15 min and refluxed for 2 h, followed by desilylation with (+)-10-camphorsulfonic acid in MeOH to give title compd. II (R = Q). II (R = Q) in vitro showed the binding affinity to vitamin D receptor three-times stronger than that of 2,5-dihydroxyvitamin D3.
IT **288380-69-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of vitamin D derivs. having substituents at 2.alpha.-position as remedies for diseases accompanied by unusual calcium metab., antitumor agents, and immunomodulators)
RN 288380-69-8 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-,

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)
(1.alpha.,2.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS

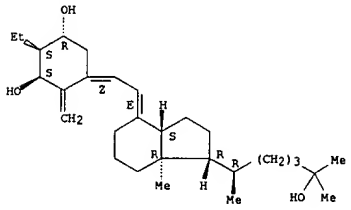
ACCESSION NUMBER: 2000:379683 CAPLUS
DOCUMENT NUMBER: 133:177344
TITLE: Syntheses and biological evaluation of novel 2.alpha.-substituted 1.alpha.,25-dihydroxyvitamin D3 analogues
AUTHOR(S): Suhara, Yoshitomo; Nihei, Ken-Ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Teikyo University, Kanagawa, 199-0195, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1129-1132
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel 2.alpha.-substituted 1.alpha.,25-dihydroxyvitamin D3 analogs were efficiently synthesized and their biol. activities were evaluated. 2.alpha.-Methyl-1.alpha.,25-dihydroxyvitamin D3, whose unique biol. activities were previously reported, was modified to 2.alpha.-alkyl (Et and propyl) and 2.alpha.-hydroxyalkyl (hydroxymethyl, hydroxyethyl, and hydroxypropyl) analogs by elongation of the alkyl chain and/or introduction of a terminal hydroxyl group. 2.alpha.-(3-Hydroxypropyl)-1.alpha.,25-dihydroxyvitamin D3 exhibited an exceptionally potent calcium-regulating effect and a unique activity profile.

IT **288380-69-8P**, 2.alpha.-Ethyl-1.alpha.,25-dihydroxyvitamin D3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of 1.alpha.,25-dihydroxyvitamin D3 analogs)

RN 288380-69-8 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS

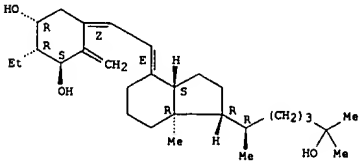
ACCESSION NUMBER: 2000:69191 CAPLUS
DOCUMENT NUMBER: 132:216550
TITLE: In vitro biological activities of a series of 2.beta.-substituted analogs of 1.alpha.,25-dihydroxyvitamin D3
AUTHOR(S): Tsugawa, Naoko; Nakagawa, Kimie; Kurobe, Mayuko; Ono, Yoshiyuki; Kubodera, Noboru; Ozono, Keiichi; Okano, Toshio
CORPORATE SOURCE: Department of Hygienic Sciences, Kobe Pharmaceutical University, Kobe, 658-8558, Japan
SOURCE: Biological & Pharmaceutical Bulletin (2000), 23(1), 66-71
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Biol. activities of a series of 2.beta.-substituted analogs of 1.alpha.,25-dihydroxyvitamin D3 [1.alpha.,25(OH)2D3] were evaluated in vitro in terms of their binding affinity with regard to calf thymus cytosolic vitamin D receptor (VDR) and rat plasma vitamin D-binding protein (DBP). Addnl., reporter gene luciferase activities using either a rat 25-hydroxyvitamin D3-24-hydroxylase gene promoter, including two vitamin D-responsive elements (VDREs), in transfected rat osteoblast-like ROS17/2.8 cells, or a human VDR-GAL4 modified two-hybrid system in transfected human epitheloid carcinoma, cervix HeLa cells were examd. Binding affinity for VDR, transactivation potency on the target gene and VDR-mediated gene regulation of the hydroxyalkyl and hydroxyalkoxy 2.beta.-substituted analogs were almost comparable to those of 1.alpha.,25(OH)2D3, while the alkyl and alkenyl analogs were much less active than 1.alpha.,25(OH)2D3. This study investigated the biol. evaluation of a series of 2.beta.-substituted analogs at the mol. level, with regard to the structural differences of alkyl, alkenyl, hydroxyalkyl, hydroxyalkoxy, alkoxy, hydroxy and chloro substituents at the 2.beta.-position of 1.alpha.,25(OH)2D3.

IT **158388-15-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro biol. activities of 2.beta.-substituted analogs of 1.alpha.,25-dihydroxyvitamin D3)

RN 158388-15-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS

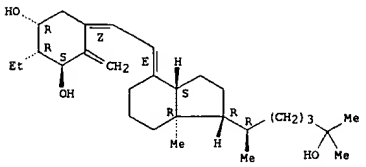
L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:155848 CAPLUS
DOCUMENT NUMBER: 130:209850
TITLE: Preparation of vitamin D derivatives with substituent at the 2.beta.-position
INVENTOR(S): Miyamoto, Katsuhito; Kubodera, Noboru
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: U.S., 17 pp., Cont. of U.S. Ser. No. 386,544, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5877168	A	19990302	US 1996-706969	19960903
US 6124276	A	20000926	US 1998-116999	19980717

PRIORITY APPLN. INFO.: US 1995-386544 B1 19950210
US 1996-706969 A3 19960903
OTHER SOURCE(S): MARPAT 130:209850
AB 1.alpha.-Hydroxy-vitamin D derivs. of formula I [R1 = H, OH; R2 = alkyl, alkenyl, alkynyl] are prepd. The compds. exhibit calcium metab. regulating activity and differentiation stimulating activity on tumor cells, etc. and are useful as a treating agent for diseases caused by abnormal calcium metab., such as osteoporosis and osteomalacia, or as an antitumor agent. Thus, II was prepd. from 5-bromo-1-pentene and 3.beta.,25-dihydroxy-1.alpha.,2.alpha.-epoxycholesta-5,7-diene, and showed bone formation activity.
IT **158388-15-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2.beta.-substituted vitamin D derivs. for the treatment of osteoporosis)
RN 158388-15-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

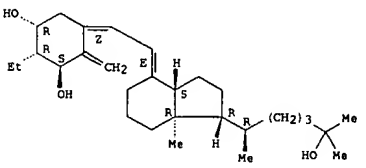
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:656121 CAPLUS
DOCUMENT NUMBER: 121:256121
TITLE: 2.beta.-Substituted vitamin D derivatives
INVENTOR(S): Myamoto, Katsuhito; Kubodera, Noboru
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06041059	A2	19940215	JP 1992-333441	19921030
JP 3213092	B2	20010925		

PRIORITY APPLN. INFO.: JP 1991-349340 A1 19911101
OTHER SOURCE(S): MARPAT 121:256121
AB Title derivs. I (R1 = H, OH; R2 = lower alkyl, lower alkenyl, lower alkynyl; R2 may be substituted with OH, halogen, cyano, lower alkoxy, amino, or acylamino), useful for treatment of osteoporosis, are prepd. Thus, treating 1.alpha.,2.alpha.-epoxy-5.alpha.,8.alpha.-(3,5-dioxo-4-phenyl-1,2,4-triazoridino)-6-cholesten-3.beta.-ol with EtMgBr in THF under Ar gave 69% 2.beta.-ethyl-1.alpha.,3.beta.-dihydroxy-5,7-cholestadiene, 32.6 mg of which was dissolved in EtOH and UV-irradiated to give 0.59 mg 2.beta.-ethyl-1.alpha.,3.beta.-dihydroxy-9,10-secocholesta-5,7,10(19)-triene.
IT **158388-15-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of osteoporosis)
RN 158388-15-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-ethyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> d ibib ab fqhit 1-19

L7 ANSWER 1 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:119679 MARPAT
TITLE: Method using a vitamin D compound for treatment of type I diabetes
INVENTOR(S): Deluca, Hector F.; McCary, Laura; Zella, Julia B.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

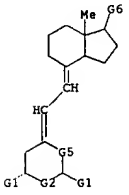
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058707	A2	20020801	WO 2001-US49631	20011227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-769579 20010125

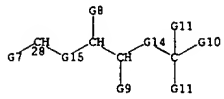
AB A method of delaying the onset or reducing the severity of diabetes in a human patient is disclosed. In one embodiment, the invention comprises the step of orally administering to the human patient effective amt. of a vitamin D compd. such as the onset of diabetes or diabetes symptoms is slowed.

MSTR 1



G1 = OH
G2 = 21

L7 ANSWER 1 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G3 = alkyl<(1-4)>
G5 = CH2
G6 = 28



G7 = Me
G10 = OH
G11 = alkyl (SO)
G14 = 40

MPL: claim 3

L7 ANSWER 2 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:401925 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 370,966, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6392071	B1	20020521	US 2000-540686	20000331
US 5843928	A	19981201	US 1997-819693	19970317
US 5936133	A	19990810	US 1998-151113	19980910
WO 2001074766	A1	20011011	WO 2001-US10317	20010329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

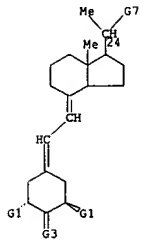
US 2002087015	A1	20020704	US 2001-1711 20011031

PRIORITY APPLN. INFO.: US 1997-819693 19970317
US 1998-151113 19980910
US 1999-370966 19990810
US 2000-540686 20000331

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R6, R8 = alkyl, hydroxyalkyl, fluoroalkyl, etc., or when taken together represent the group -(CH2)x- where x is an integer from 2 to 5; R = any of the typical side chains known for vitamin D type compds.] are prepd. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. Thus, 20(S)-1.alpha.,25-dihydroxy-2-methylene-26,27-dihomo-19-nor-vitamin D3 (II) was prepd. via a multistep synthetic sequence starting from 20(S)-25-hydroxy Grundmann's ketone analog III and phosphine oxide IV. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in vitamin D-deficient rats on a low calcium diet responding to chronic doses of II at 15 pmol/day/7 days were 4.0 .+-. 0.4 S/M and 5.3 .+-. 0.1 S/M resp. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

MSTR 1

L7 ANSWER 2 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G3 = 103

G4 = alkyl<(1-10)> (SO OH)
G7 = Ak<EC (2-) C, BD (0-) D (0-1) T> (SO (1-) G8)
G8 = OH
MPL: disclosure
NTE: heteroatom interruptions also claimed
NTE: substitution is restricted

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:6207 MARPAT
TITLE: Preparation of 5,6-trans-2-alkylvitamin D derivatives
INVENTOR(S): Takayama, Hiroaki; Fujishima, Toshie
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

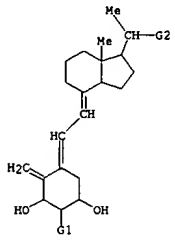
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090061	A1	20011129	WO 2001-JP4256	20010522

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-151298 20000523

AB The title compds. I [R1 is linear or branched alkyl; and R2 is optionally hydroxylated linear or branched alkyl] are prepd. For example, (5E,7E)-(1S,2S,3R)-2-methyl-9,10-seco-5,7,10(19)-cholestatriene-1,3,25-triol was prepd. The affinity of compds. of this invention for the vitamin D receptor was demonstrated.

MSTR 1



G1 = Et
G2 = alkyl (SO OH)
MPL: claim 1

L7 ANSWER 3 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:358086 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 454,013.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6316642	B1	20011113	US 2000-541470	20000331
US 5945410	A	19990831	US 1997-819694	19970317
US 6127559	A	20001003	US 1998-135463	19980817
US 6277837	B1	20010821	US 1999-454013	19991203
WO 2001074765	A1	20011011	WO 2001-US10094	20010329

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

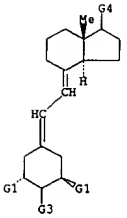
US 2002123638	A1	20020905	US 2001-999299 20011031

PRIORITY APPLN. INFO.: US 1997-819694 19970317
US 1998-135463 19980817
US 1999-454013 19991203
US 2000-541470 20000331

AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R = typical side chains known for vitamin D type compds.; R1 = alkyl, hydroxyalkyl, fluoroalkyl] are prepd. These 2-substituted compds., esp. the 2.alpha.-Me and the 2.alpha.-methyl-20S derivs., are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II was prepd. and showed preferential activity on bone in biol. activity tests.

MSTR 1

L7 ANSWER 4 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G3 = alkyl<(1-10)> (SO OH)
G4 = hydrocarbyl<(1-35)> (SO (1-) G27)
G27 = OH
MPL: disclosure
NTE: heteroatom interruptions also claimed
NTE: substitution is restricted

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

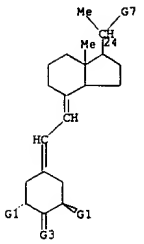
L7 ANSWER 5 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:304063 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316642	B1	20011113	US 2000-541470	20000331
PRIORITY APPLN. INFO.:			US 2000-541470	20000331
			US 1997-819694	19970317
			US 1998-135463	19980817
			US 1999-454013	19991203

AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = alkyl, hydroxyalkyl, fluoroalkyl; R4 = H, Me, acyl, OH, any of the typical side chains known for vitamin D type compds., etc.] are prepd. These compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepd. and had a VDR binding ratio of 5.5, and HL-60 differentiation ED50 of 1.1 x 10-10 M.

MSTR 1

L7 ANSWER 5 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 . = OH
G3 = 103

G4 = alkyl<(1-10)> (SO OH)
G7 = Ak<EC (2-) C, BD (0-) D (0-1) T> (SO (1-) G8)
G8 = OH
MPL: claim 31
NTE: heteroatom interruptions also claimed
NTE: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

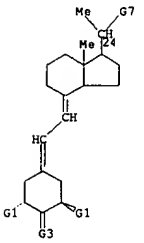
L7 ANSWER 6 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:288953 MARPAT
TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074766	A1	20011011	WO 2001-US10317	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6392071	B1	20020521	US 2000-540686	20000331
PRIORITY APPLN. INFO.:			US 2000-540686	20000331
			US 1997-819693	19970317
			US 1998-151113	19980910
			US 1999-370966	19990810

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = typical side chains known for vitamin D type compds.; R4, R5 = H, alkyl, hydroxyalkyl, fluoroalkyl, etc.; R4R5 = cycloalkylidene] are prepd. These 2-substituted compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepd. and is found to be extremely potent in inducing differentiation of HL-60 cells.

MSTR 1

L7 ANSWER 6 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G3 = 103

G4 = alkyl<(1-10)> (SO OH)
G7 = Ak<EC (2-) C, BD (0-) D (0-1) T> (SO (1-) G8)
G8 = OH
MPL: claim 31
NTE: heteroatom interruptions also claimed
NTE: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:283217 MARPAT
TITLE: Vitamin D compounds used to stabilize kidney transplants
INVENTOR(S): Deluca, Hector F.; Becker, Bryan N.; Sollinger, Hans W.; Hullett, Debra A.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

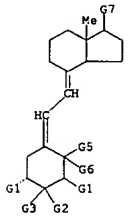
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072292	A2	20011004	WO 2001-US8939	20010320
WO 2001072292	A3	20020516		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-192649P 20000327

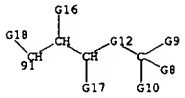
AB A method of stabilizing kidney function in transplant patients is disclosed. In one embodiment, the method comprises the steps of kidney transplant patient, wherein the transplant patient is undergoing immunosuppressive therapy, with a sufficient amt. of vitamin D compd. whereby the kidney function stabilizes. Calcitriol therapy was beneficial in preserving renal graft function in the setting of kidney of kidney-pancreas transplantation as detd. in a study.

MSTR 1



G1 = OH

L7 ANSWER 7 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G2 = alkyl<(1-4)>
G7 = 91



G8 = OH
G9 = alkyl (SO (1-) G19)
G10 = alkyl (SO (1-) G19)
G12 = alkylene<(1-)> (SO (1-) G13)
G18 = Me
MPL: claim 9
NTE: heteroatom interruptions also claimed
NTE: substitution is restricted

L7 ANSWER 8 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:41381 MARPAT
TITLE: Treatment of inflammatory bowel disease with vitamin D compounds
INVENTOR(S): Cantorna, Margherita T.
PATENT ASSIGNEE(S): The Penn State Research Foundation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

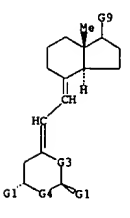
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042205	A2	20010614	WO 2000-US42393	20001130
WO 2001042205	A3	20020321		
EP 1233942	A2	20020828	EP 2000-992552	20001130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 1999-168501P 19991202
US 2000-197827P 20000414
US 2000-208632P 20000601
US 2000-231906P 20000911
WO 2000-US42393 20001130

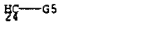
AB A method of treating inflammatory bowel disease, particularly ulcerative colitis and Crohn's disease, is disclosed. The method involves administering a vitamin D compd. in an amt. effective to treat the disease. The administration of a vitamin D compd. also prevents the development of or delays the onset of inflammatory bowel disease in susceptible individuals.

MSTR 1

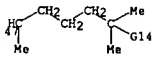


G1 = OH

L7 ANSWER 8 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G3 = CH2
G4 = 24



G5 = alkyl<(1-10)> (SO (1-) G8)
G9 = 47



G14 = OH
MPL: claim 10
NTE: additional oxygen, sulfur interruptions also claimed

L7 ANSWER 9 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:208010 MARPAT
TITLE: Preparation of vitamin D derivatives having substituents at the 2.alpha.-position
INVENTOR(S): Takayama, Hiroaki; Fujishima, Toshie; Suhara, Yoshitomo; Nihei, Ken-ichi; Konno, Katsuhiro
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

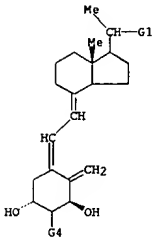
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016099	A1	20010308	WO 2000-JP5743	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1219599	A1	20020703	EP 2000-955023	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-241650 19990827
WO 2000-JP5743 20000825

AB Novel vitamin D3 derivs. having substituents at the 2.alpha.-position, which are represented by general formula (I; wherein R1 is a satd. aliph. C1-15 hydrocarbon group which may be substituted with one to three optionally protected hydroxyl groups; and R2 is a satd. aliph. C1-10 hydrocarbon group optionally substituted with one or more members which may be the same or different from each other and are selected from among hydroxyl, halogeno, cyano, lower alkoxy, amino, and acylamino, with the proviso that when R2 has only one carbon atom, it must have a substituent) are prepd. Theses compds. are useful as remedies for diseases accompanied by unusual calcium metab., antitumor agents, and immunomodulators. Thus, (3S,4R,5R)-4-[3-(tert-butyldimethylsilyloxy)propyl]-3,5-bis-(tert-butyldimethylsilyloxy)oct-1-en-7-yne and vinyl bromide deriv. (II; R = Br) were dissolved in Et3N/toluene, followed by adding tris(dibenzylideneacetone)dipalladium(0)-chloroform complex and Ph3P, and the resulting soln. was stirred at room temp. for 15 min and refluxed for 2 h, followed by desilylation with (+)-10-camphorsulfonic acid in MeOH to give title compd. II (R = Q). II (R = Q) in vitro showed the binding affinity to vitamin D receptor three-times stronger than that of 2,5-dihydroxyvitamin D3.

MSTR 1

L7 ANSWER 9 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = alkyl<(1-15)> (SO (1-3) G2)
G2 = OH
G4 = alkyl<(2-10)> (SO G5)
MPL: claim 1

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:105886 MARPAT
TITLE: Dietary calcium as a supplement to vitamin D compound treatment of multiple sclerosis
INVENTOR(S): Deluca, Hector F.; Cantorna, Margherite T.; Humpal-Winter, Jean
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001003704	A1	20010118	WO 2000-US17323	20000623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016313	A1	20020207	US 1999-349528	19990708
US 6479474	B2	20021112		
EP 1196174	A1	20020417	EP 2000-941671	20000623
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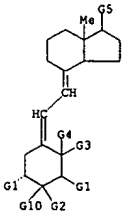
PRIORITY APPLN. INFO.: US 1999-349528 19990708
WO 2000-US17323 20000623

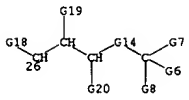
AB A method of and compn. for diminishing multiple sclerosis symptoms are disclosed. In one embodiment, the method comprises the step of administrating an amt. of calcium and a vitamin D compd. effect to diminish multiple sclerosis symptoms. In another embodiment, the invention is a pharmaceutical compn. comprising an amt. of calcium and vitamin D compd. effective to diminish multiple sclerosis symptoms.

MSTR 1



G1 = OH

L7 ANSWER 10 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G2 = alkyl<(1-4)>
G5 = 26



G6 = OH
G7 = alkyl (SO (1-) G23)
G8 = alkyl (SO (1-) G23)
G14 = alkylene<(1-)> (SO (1-) G12)
G18 = Me
MPL: claim 13
NTE: heteroatom interruptions also claimed

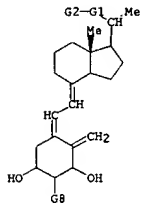
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:350393 MARPAT
TITLE: Preparation of 2-alkylated vitamin D derivatives
INVENTOR(S): Takayama, Hiroaki; Fujishima, Toshie; Liu, Zhaopeng; Konno, Katsuhiro
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066548	A1	20001109	WO 1999-JP5778	19991020
W: CA, JP, US				
PRIORITY APPLN. INFO.:			JP 1999-121589	19990428

AB Novel vitamin D3 derivs. which are substituted at the 2-position and epimerized at the 20-position and have -O- or -CH(CH3)- at the 22-position, as represented by general formula [I; wherein X is -O- or -CHMe-; R1 is a C1-15 satd. or unsatd. aliph. hydrocarbon group which may be substituted with one to three optionally protected hydroxyl groups; and R2 is lower alkyl] are prepd. These vitamin D3 derivs. are useful as therapeutics for diseases assocd. with unusual calcium metab. or as antitumor agents or immunomodulators. Thus, CD-ring compd. (II) (prepn. given) 25, A-ring compd. (III) 30, (dba)3Pd2.CHCl3 6, and PPh3 15 mg were refluxed at 130.degree. for 6 h in 1 mL PhMe and 1 mL Et3N to give 43% vitamin D3 tert-butyldimethylsilyl deriv. (IV; R = tert-butyldimethylsilyl) which (18.6 mg) was treated with 6 mg 10-camphorsulfonic acid in 2 mL MeOH at room temp. overnight to give 14% IV (R = H). The latter compd. in vitro showed the binding capability to 1.alpha.,25-dihydroxyvitamin D3 receptor of bovine thymus gland twice as large as that of 1.alpha.,25-dihydroxyvitamin D3.

MSTR 1



G1 = CHMe
G2 = alkyl<(1-15)> (SO (1-3) G3)
G3 = OH

L7 ANSWER 11 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G8 = loweralkyl
MPL: claim 1

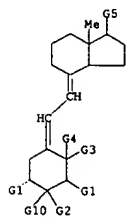
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:330067 MARPAT
TITLE: Treatment of systemic lupus erythematosus symptoms with vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Cantorna, Margherita T.; Humpal-Winter, Jean
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

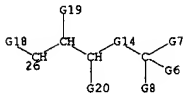
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066098	A2	20001109	WO 2000-US11104	20000425
WO 2000066098	A3	20010531		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028830	A1	20020307	US 1999-422571	19991021
EP 1181020	A2	20020227	EP 2000-923617	20000425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1999-301970	19990429
			US 1999-422571	19991021
			WO 2000-US11104	20000425

AB A method of treating systemic lupus erythematosus (SLE) symptoms (proteinuria and lymph node swelling) comprising administering to an SLE patient an amt. of a vitamin D compd. effective to reduce symptoms is disclosed. The vitamin D compd. is preferably 1,25(OH)2D3 or one of its analogs and the vitamin D compd. can be coadministered with a calcium supplement.

MSTR 1



L7 ANSWER 12 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
G1 = OH
G2 = alkyl<(1-4)>
G5 = 26



G6 = OH
G7 = alkyl (SO (1-) G23)
G8 = alkyl (SO (1-) G23)
G14 = alkylene<(1-)> (SO (1-) G12)
G18 = Me
MPL: claim 13
NTE: heteroatom interruptions also claimed

L7 ANSWER 13 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:267021 MARPAT
TITLE: preparation and therapeutic use of 2-alkyl-19-nor-vitamin D derivatives
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. 5,945,410. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

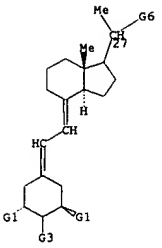
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6127559	A	20001003	US 1998-135463	19980817
US 5945410	A	19990831	US 1997-819694	19970317
US 6277837	B1	20010821	US 1999-454013	19991203
US 6316642	B1	20011113	US 2000-541470	20000331
US 6306844	B1	20011023	US 2000-616778	20000714
US 2002151528	A1	20021017	US 2001-45941	20011019
US 2002123638	A1	20020905	US 2001-999299	20011031

PRIORITY APPLN. INFO.: US 1997-819694 19970317
US 1998-135463 19980817
US 1999-454013 19991203
US 2000-541470 20000331
US 2000-616778 20000714
JP 2001-83085 20010322

AB This invention discloses a novel class of vitamin D related compds., namely, the 2-alkyl-19-nor-vitamin D derivs. (I) (Y1,Y2 = H, hydroxy-protecting group; R6 = alkyl, hydroxyalkyl, fluoroalkyl; R7 = .alpha. or .beta.-Me; Z = Y, -OY, -CH2OY, -C.tplbond.CY, -CH=CHY (Y = H, Me, -(CH2)m-C(R1R2)-(CH2)n-C(R3R4R5); where m and n, independently integers from 0-5; R1 = H, OH, protected hydroxy, F, CF3, alkyl etc., R2, R3, R4 = D, deuteroalkyl, H, F, CF3, alkyl etc., R1+R2 = O, =C(R2R3) etc., R5 = H, OH, protected hydroxy, alkyl, and wherein any of the CH-groups at position 20,22, or 23 in the side chain may be replaced by a N atom or where any of the groups -CH(Me)-, -CH(R3)-, or -CH(R2)- at positions 20, 22, and 23, resp., may be replaced by an oxygen or sulfur atom)), were prepd. Thus, I (Y1,Y2 = H; R6,R7 = .alpha.-Me; Z = (CH2)3C(Me)2OH) (II) was prepd. starting from Me quinicate and followed by Wittig-Horner coupling with Grundman's ketone (III). The 2-substituted compds., esp. the 2.alpha.-Me and the 2.alpha.-methyl-20S derivs., are characterized by relatively low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. I also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

MSTR 1

L7 ANSWER 13 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G3 = alkyl<(1-10)> (SO (1-) OH)
G9 = Ak<EC (1-) C, BD (0-1) D (0) T> (SO G10)
G10 = OH
G14 = Ak<EC (1-7) C, BD (0-1) D (0-1) T, DC (0) M3>
MPL: claim 1
NTE: additional oxygen, sulfur, or nitrogen interruptions also claimed
STE: 27 - R,S

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

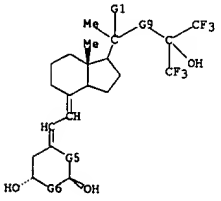
L7 ANSWER 14 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:74179 MARPAT
TITLE: Synthesis and crystallization of hexafluoro-vitamin D compounds
INVENTOR(S): Paaren, Herbert E.
PATENT ASSIGNEE(S): Tetrionics, Inc., USA
SOURCE: U.S., 10 pp., Division of U.S. Ser. No. 81,106. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6080879	A	20000627	US 1999-372368	19990811

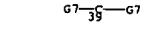
PRIORITY APPLN. INFO.: US 1998-81106 19980519

AB This invention provides a novel synthesis and crystn. method and solvent for producing hexafluoro-vitamin D compds. I (R1 = H, alkyl, HO, alkoxy, protected OH; X = straight branched or cyclic hydrocarbon group having 1-12 C atoms and may be substituted; Y1 = Y2 = H, Y1Y2 = CH2; Z1 and Z2 = H, alkyl, HO, alkoxy; Z1Z2 = methylene, alkylidene) were prepd. and crystd. in a 1-6 carbon halogenated alkane solvent and a 1-12 hydrocarbon solvent. Cryst. forms of I are provided that are esp. suited for pharmaceutical use. I can exhibit biol. activity for treating cancers, osteoporosis and psoriasis. Thus, 26,26,26,27,27,27-hexafluoro-1.alpha.,25-dihydroxyvitamin D3 (II) was prepd. in 12 steps from the vitamin D deriv. III. II was obtained in pure form by crystn. from CH2Cl2 and cyclohexane.

MSTR 1



G5 = CH2
G6 = 39



G7 = alkyl<(1-10)>
G9 = Ak<(1-12)> (SO (1-) G3)
MPL: claim 1

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 14 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

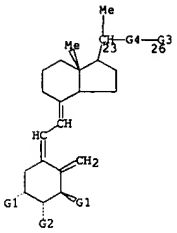
L7 ANSWER 15 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 132:93535 MARPAT
TITLE: Ultraviolet irradiation apparatus for photochemical reaction and method for preparing vitamin D derivative using the same
INVENTOR(S): Michishita, Tadao; Watanabe, Satoshi; Katoh, Masahiro; Mikami, Tetsuhiro; Tsuzaki, Kaname; Oikawa, Koji; Uehara, Makoto
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001477	A1	20000113	WO 1999-JP3489	19990629
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000015090	A2	20000118	JP 1998-188879	19980703
CA 2335206	AA	20000113	CA 1999-2335206	19990629
AU 9942912	A1	20000124	AU 1999-42912	19990629
JP 2000080074	A2	20000321	JP 1999-183445	19990629
PRIORITY APPLN. INFO.:			JP 1998-188879	19980703
			JP 1998-188880	19980703
			WO 1999-JP3489	19990629

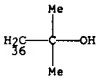
AB Described is an UV irradn. app. for a photochem. reaction which can irradiate an UV ray of a specific wavelength suitable to a desired photochem. reaction to a photochem. reaction mixt. as well as a method for prepg. a vitamin D deriv. which comprises converting a provitamin D deriv. to a provitamin D deriv. through a photochem. reaction effected by one step light irradn. and which can be used for prepg. a vitamin D deriv. with high efficiency. In the method for prepg. a vitamin D deriv., use is made of an UV irradn. app. for a photochem. reaction which has an UV radiation lamp, an optical system having selection capability for a wavelength, and a quartz rod into which an UV ray of a specific wavelength enters from the optical system, and a provitamin D deriv. is formed through the photochem. reaction effected by the irradn. of an UV ray of a specific wavelength radiated from the quartz rod to a provitamin D deriv. The provitamin D deriv. is then subjected to a thermal isomerization, to thereby prep. a vitamin D deriv. Thus, 20 g provitamin D3 was dissolved in 5 L THF and continuously irradiated in the UV app. at -4.degree. to -2.degree. with stirring for 480 min to give 66.4% vitamin D3.

MSTR 3

L7 ANSWER 15 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G2 = alkyl<(1-10)> (SO)
G3 = 36



G4 = 27-23 28-26

G5 = CH2
MPL: claim 12

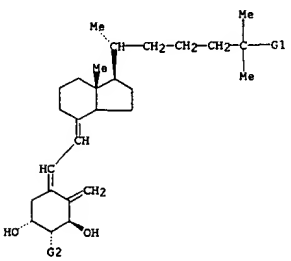
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 130:209850 MARPAT
TITLE: Preparation of vitamin D derivatives with substituent at the 2.beta.-position
INVENTOR(S): Miyamoto, Katsuhito; Kubodera, Noboru
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: U.S., 17 pp., Cont. of U.S. Ser. No. 386,544, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5877168	A	19990302	US 1996-706969	19960903
US 6124276	A	20000926	US 1998-116999	19980717
PRIORITY APPLN. INFO.:			US 1995-386544	19950210
			US 1996-706969	19960903

AB 1.alpha.-Hydroxy-vitamin D derivs. of formula I [R1 = H, OH; R2 = alkyl, alkenyl, alkynyl] are prepd. The compds. exhibit calcium metab. regulating activity and differentiation stimulating activity on tumor cells, etc. and are useful as a treating agent for diseases caused by abnormal calcium metab., such as osteoporosis and osteomalacia, or as an antitumor agent. Thus, II was prepd. from 5-bromo-1-pentene and 3.beta.,25-dihydroxy-1.alpha.,2.alpha.-epoxycholesta-5,7-diene, and showed bone formation activity.

MSTR 1



G1 = OH
G2 = alkyl<(1-7)> (SO (1-) G3)
MPL: claim 1

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

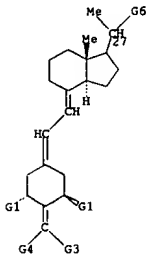
L7 ANSWER 17 OF 19 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:245333 MARPAT
TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841501	A1	19980924	WO 1998-US2976	19980211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843928	A	19981201	US 1997-819693	19970317
AU 9862801	A1	19981012	AU 1998-62801	19980211
AU 714253	B2	19991223		
EP 970047	A1	20000112	EP 1998-905102	19980211
EP 970047	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001504135	T2	20010327	JP 1998-540501	19980211
AT 223890	E	20020915	AT 1998-905102	19980211
NO 9904398	A	19990910	NO 1999-4398	19990910
PRIORITY APPLN. INFO.:			US 1997-819693	19970317
			WO 1998-US2976	19980211

AB The title compds. [I; Y1, Y2 = H, protecting group; R6, R8 = H, alkyl, hydroxyalkyl, fluoroalkyl, or R6R8 = (CH2)x; x = 2-5 integer; R = any of the typical side chains known for vitamin D type compds., e.g. Q] are prepd. Thus, 1.alpha.,25-dihydroxy-2-methylene-19-norvitamin D3 (II) was prepd. in 11 steps from (-)-quinic acid via tert-butyldimethylsilyl protection of the OH groups at the 3 and 5 positions, converting to protected quinic acid Me ester, oxidn. of the 4-OH, methylenation using methyltriphenylphosphonium bromide, hydride redn., NaIO4 oxidn., condensation of 3,5-bis(tert-butyldimethylsilyloxy)-4-methylenecyclohexanone with Me3SiCH2-COOMe, DIBAL redn., reaction with Ph2PH, H2O2 oxidn., condensation with perhydroindanone III in the presence of BuLi, and deprotection. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in rats responding to chronic doses of II at 130 pmol/day/7 days were 5.3.+-.0.4 S/M and 9.9.+-.0.2 mg/100 Ml, resp., vs. 6.2.+-.0.4 S/M and 7.2.+-.0.5 mg/100 mL, resp., for 1,25-(OH)2D3. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

MSTR 1

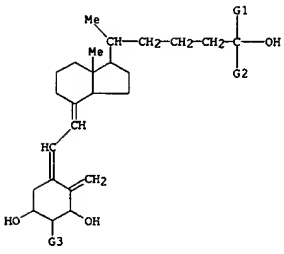
L7 ANSWER 17 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = OH
G3 = alkyl (SO (1-) OH)
G6 = Ak<BD (-1) DE (0) T> (SO (1-) G18)
G18 = OH
MPL: claim 1
NTE: additional oxygen, sulfur, or nitrogen interruptions of Ak in G6 also claimed
STE: 27-R,S

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 19 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = Et
G2 = alkyl<(1-4)>
G3 = alkyl<(1-7)> (SO (1-) G4)
MPL: claim 1

L7 ANSWER 18 OF 19 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 125:196104 MARPAT
TITLE: Preparation of 2-substituted vitamin D3 derivatives for increased calcium absorption
INVENTOR(S): Ono, Yoshiyuki
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622973	A1	19960801	WO 1996-JP91	19960122
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 08259526	A2	19961008	JP 1996-38649	19960119
CA 2210106	AA	19960801	CA 1996-2210106	19960122
AU 9644592	A1	19960814	AU 1996-44592	19960122
EP 806413	A1	19971112	EP 1996-900724	19960122
EP 806413	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 210642	E	20011215	AT 1996-900724	19960122
ES 2169220	T3	20020701	ES 1996-900724	19960122
US 5883271	A	19990316	US 1997-875292	19971008

PRIORITY APPLN. INFO.: JP 1995-42245 19950123
WO 1996-JP91 19960122

AB Title compds. [I; R1, R2 = the same or different and each represents C1-4 alkyl; R3 = C1-7 alkoxy optionally substituted by hydroxy, halo, cyano, C1-4 alkoxy, amino or acylamino; provided that R1 and R2 do not represent Me at the same time] are prepd. Thus, 1.alpha.,2.alpha.-epoxy-3.beta.-hydroxy-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene was reacted with 1,3-propanediol in the presence of t-BuOK to give 1.alpha.,3.beta.-dihydroxy-2.beta.-(3-hydroxypropoxy)-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene, which was reacted with EtMgBr and the product was irradiated with a 400W high pressure Hg lamp for 90 s to give the title compd. II [R1 = R2 = Et]. In an in vitro study using which were fed with feed contg. 1.2% calcium, this at 0.04 .mu.g/Kg increased bone d. (not quantified) compared with the control.

MSTR 1

L7 ANSWER 19 OF 19 MARPAT COPYRIGHT 2002 ACS

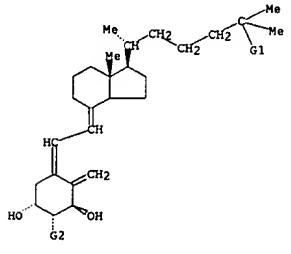
ACCESSION NUMBER: 121:256121 MARPAT
TITLE: 2.beta.-Substituted vitamin D derivatives
INVENTOR(S): Myamoto, Katsuhito; Kubodera, Noboru
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06041059	A2	19940215	JP 1992-333441	19921030
JP 3213092	B2	20010925		

PRIORITY APPLN. INFO.: JP 1991-349340 19911101

AB Title derivs. I (R1 = H, OH; R2 = lower alkyl, lower alkenyl, lower alkynyl; R2 may be substituted with OH, halogen, cyano, lower alkoxy, amino, or acylamino), useful for treatment of osteoporosis, are prepd. Thus, treating 1.alpha.,2.alpha.-epoxy-5.alpha.,8.alpha.-(3,5-dioxo-4-phenyl-1,2,4-triazoridino)-6-cholesten-3.beta.-ol with EtMgBr in THF under Ar gave 69% 2.beta.-ethyl-1.alpha.,3.beta.-dihydroxy-5,7-cholestadiene, 32.6 mg of which was dissolved in EtOH and UV-irradiated to give 0.59 mg 2.beta.-ethyl-1.alpha.,3.beta.-dihydroxy-9,10-secocholesta-5,7,10(19)-triene.

MSTR 1



G1 = OH
G2 = alkyl<(1-7)> (SO (1-) G3)
MPL: claim 1

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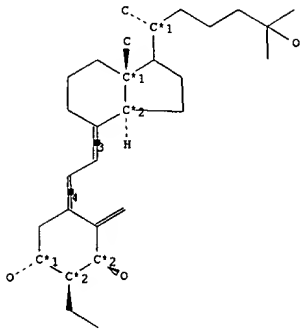
L9 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8662551
Chemical Name (CN):	2-ethyl-5-<2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene>-4-methylene-cyclohexane-1,3-diol
Autonom Name (AUN):	2-ethyl-5-<2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene>-4-methylene-cyclohexane-1,3-diol
Molec. Formula (MF):	C29 H48 O3
Molecular Weight (MW):	444.70
Lawson Number (LN):	6524
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7333821
Tautomer ID (TAUTID):	8138677
Entry Date (DED):	2001/01/30
Update Date (DUPD):	2001/01/30



Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S
3. CIP Descriptor: E
4. CIP Descriptor: Z

Field Availability:

L9 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
NMR	Nuclear Magnetic Resonance	2
PHARM	Pharmacological Data	4

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Nuclear Magnetic Resonance:
NMR
Coupling Nuclei (.NUI): 1H-1H
Solvents (.SOL): CDCl3, D2O
Frequency (.F): 400 MHz
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

NMR
Description (.KW): Chemical shifts
Nucleus (.NUC): 1H
Solvents (.SOL): CDCl3, D2O
Frequency (.F): 400 MHz
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

Pharmacological Data:
PHARM
Effect (.E): calcium metabolism regulator
Species or Test-System (.SP): rat serum
Method, Remarks (.MR): in vitro; serum Ca level determined
Results (.RE): 68 vs. 100 for 1.alpha.,25-dihydroxyvitamin D3
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama,

L9 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)
Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

PHARM
Effect (.E): cell differentiation
Species or Test-System (.SP): HL-60 cells
Method, Remarks (.MR): in vitro; expression of antigen CD11b
Results (.RE): 106 vs. 100 for 1.alpha.,25-dihydroxyvitamin D3
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

PHARM
Effect (.E): protein binding
Species or Test-System (.SP): rat serum vitamin D binding protein
Method, Remarks (.MR): in vitro
Results (.RE): 48 vs. 100 for 1.alpha.,25-dihydroxyvitamin D3
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

PHARM
Effect (.E): receptor; binding activity
Species or Test-System (.SP): bovine thymus VDR
Method, Remarks (.MR): in vitro
Results (.RE): relative potency 40 vs. 100 for 1.alpha.,25-dihydroxyvitamin D3
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima, Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

Reaction:
RX
Reaction ID (.ID): 8658953
Reactant BRN (.RBRN): 8660355
Reactant (.RCT): 6-(4-<2-<3,5-bis-(tert-butyl-dimethyl-silanyloxy)-4-ethyl-2-methylene-cyclohexylidene>-ethylidene>-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Product BRN (.PBRN): 8662551
Product (.PRO): 2-ethyl-5-<2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene>-4-methylene-cyclohexane-1,3-diol
No. of React. Details (.NVAR): 1

Reaction Details:
RX
Reaction RID (.RID): 8658953.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): CSA
Solvent (.SOL): methanol
Reaction Type (.TYP): desilylation
Reference(s):
1. Suhara, Yoshitomo; Nihei, Ken-ichi; Tanigawa, Hirokazu; Fujishima,

L9 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)
Toshie; Konno, Katsuhiro; Nakagawa, Kimie; Okano, Toshio; Takayama, Hiroaki, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(10), <2000>, 1129 - 1132; BABS-6252498

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     (FILE 'HOME' ENTERED AT 11:50:13 ON 14 NOV 2002)

     FILE 'REGISTRY' ENTERED AT 11:51:20 ON 14 NOV 2002
L1              STRUCTURE UPLOADED
L2            1 S L1
L3           10 S L1 FULL

     FILE 'USPATFULL' ENTERED AT 11:51:58 ON 14 NOV 2002
L4            2 S L3

     FILE 'CAPLUS' ENTERED AT 11:52:38 ON 14 NOV 2002
L5            8 S L3

     FILE 'MARPAT' ENTERED AT 11:53:45 ON 14 NOV 2002
L6           21 S L3 FULL
L7           19 S L6/COM

     FILE 'CAOLD' ENTERED AT 11:58:39 ON 14 NOV 2002
L8            0 S L3 FULL

     FILE 'BEILSTEIN' ENTERED AT 11:58:48 ON 14 NOV 2002
L9            1 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 11:59:20 ON 14 NOV 2002
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